

09/937,292

CA SUBSCRIBER PRICE

-2.10

-2.10

STN INTERNATIONAL LOGOFF AT 16:19:47 ON 31 AUG 2004

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal201txs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 01 INPADOC: New family current-awareness alert (SDI) available  
NEWS 4 SEP 01 New pricing for the Save Answers for SciFinder Wizard within  
STN Express with Discover!  
NEWS 5 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX  
NEWS 6 SEP 27 STANDARDS will no longer be available on STN  
NEWS 7 SEP 27 SWETSCAN will no longer be available on STN  
NEWS 8 OCT 28 KOREAPAT now available on STN  
NEWS 9 NOV 18 Current-awareness alerts, saved answer sets, and current  
search transcripts to be affected by CERAB, COMPUAB, ELCOM,  
and SOLIDSTATE reloads  
NEWS 10 NOV 30 PHAR reloaded with additional data  
NEWS 11 DEC 01 LISA now available on STN  
  
NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:44:09 ON 06 DEC 2004

=>  
Uploading

09/937,292

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:44:18 ON 06 DEC 2004

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3

DICTIONARY FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

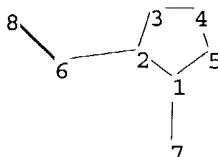
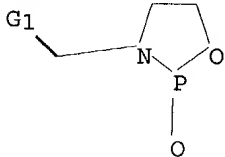
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\099372921.str



chain nodes :

6 7 8

ring nodes :

1 2 3 4 5

chain bonds :

1-7 2-6 6-8

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-7 2-3 2-6 3-4 4-5 6-8

G1:O,S,Se

09/937,292

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS

L1 STRUCTURE UPLOADED

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.42	0.63

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:44:35 ON 06 DEC 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3  
DICTIONARY FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

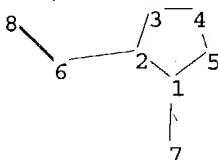
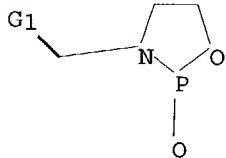
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\099372921.str



chain nodes :

6 7 8

ring nodes :

1 2 3 4 5

chain bonds :

1-7 2-6 6-8

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-7 2-3 2-6 3-4 4-5 6-8

G1:O,S,Se

09/937,292

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS

L2 STRUCTURE UPLOADED

=> s 12

SAMPLE SEARCH INITIATED 12:44:50 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 3 TO 163  
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L2

=> s 12 ful

FULL SEARCH INITIATED 12:45:00 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 60 TO ITERATE

100.0% PROCESSED 60 ITERATIONS 31 ANSWERS  
SEARCH TIME: 00.00.01

L4 31 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.84	156.47

FILE 'CAPLUS' ENTERED AT 12:45:32 ON 06 DEC 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 6 Dec 2004 VOL 141 ISS 24  
FILE LAST UPDATED: 5 Dec 2004 (20041205/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 14

09/937,292

L5 17 L4

=> d 5 ibib hitstr abs 1-17

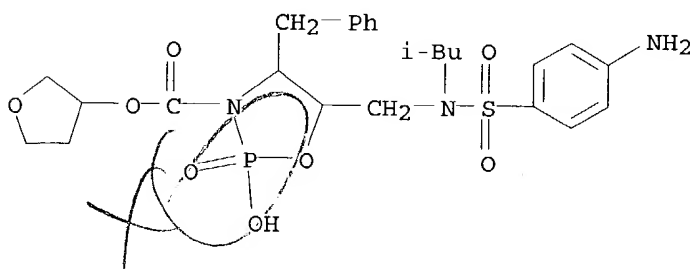
L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1999:460409 CAPLUS  
DOCUMENT NUMBER: 131:87805  
TITLE: Preparation of amprenavir prodrugs as HIV protease inhibitors  
INVENTOR(S): Tung, Roger D.; Hale, Michael R.; Baker, Christopher T.; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Wiczyslaw; Spaltenstein, Andrew  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 110 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933815	A1	19990708	WO 1998-US4595	19980309
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US-6436989	B1	20020820	US 1997-998050	19971224
AU 9865466	A1	19990719	AU 1998-65466	19980309
AU 755087	B2	20021205		
TR 200002615	T2	20010122	TR 2000-200002615	19980309
BR 9814480	A	20010925	BR 1998-14480	19980309
EE 200000385	A	20011217	EE 2000-200000385	19980309
AP 1172	A	20030630	AP 2000-200001850	19980309
W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW				
NZ 505776	A	20030630	NZ 1998-505776	19980309
CA 2231700	AA	19990624	CA 1998-2231700	19980310
JP 11209337	A2	19990803	JP 1998-58705	19980310
EP 933372	A1	19990804	EP 1998-104292	19980310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TW 486474	B	20020511	TW 1998-87121460	19981222
ZA 9811830	A	20000623	ZA 1998-11830	19981223
NO 2000003304	A	20000821	NO 2000-3304	20000623
US 6559137	B1	20030506	US 2000-602494	20000623
BG 104631	A	20010228	BG 2000-104631	20000724
US 2003207871	A1	20031106	US 2003-370171	20030219
PRIORITY APPLN. INFO.:			US 1997-998050	A2 19971224
			WO 1998-US4595	W 19980309
			US 2000-602494	A3 20000623
OTHER SOURCE(S):	MARPAT 131:87805			
IT 229495-77-6P				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(preparation of amprenavir prodrugs as HIV protease inhibitors)				

09/937,292

RN 229495-77-6 CAPLUS

CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 5-[[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]methyl]-2-hydroxy-4-(phenylmethyl)-, tetrahydro-3-furanyl ester, 2-oxide (9CI) (CA INDEX NAME)

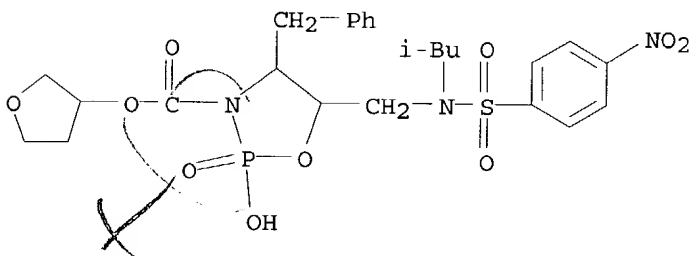


IT 229495-99-2P

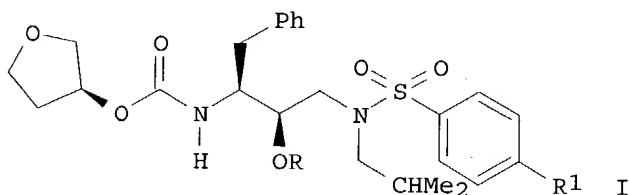
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-99-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-hydroxy-5-[[[(2-methylpropyl)[(4-nitrophenyl)sulfonyl]amino]methyl]-4-(phenylmethyl)-, tetrahydro-3-furanyl ester, 2-oxide (9CI) (CA INDEX NAME)



GI



AB ABNGxCHDCH(OR7)CH2ND'SO2E [A = H, alkyl(carbonyl), aryl(carbonyl), etc.; B = bond or (un)substituted NHCH2CO; D,D' = (cyclo)alk(en)yl, heterocyclyl, etc.; E = (cyclo)alkyl(oxy), heterocyclyl(oxy), etc.; G = H, R7, alkyl, etc.; R7 = acyl(oxy)methyl; x = 0 or 1] were prepared Thus, analog I (R = H, R1 = NO2) was converted in 4 steps to I [R = P(O)(ONa)2, R1 = NH2] (II). Data for bioavailability of II were given.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

09/937,292

ACCESSION NUMBER: 2002:353665 CAPLUS  
DOCUMENT NUMBER: 136:371071  
TITLE: Atropisomers of asymmetric xanthene fluorescent dyes  
and use in DNA sequencing and fragment analysis  
INVENTOR(S): Lee, Linda G.; Taing, Meng C.; Roseblum, Barnett B.  
PATENT ASSIGNEE(S): PE Corporation, USA  
SOURCE: PCT Int. Appl., 89 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036832	A2	20020510	WO 2001-US48654	20011030
WO 2002036832	A3	20020801		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 6448407	B1	20020910	US 2000-704966	20001101
CA 2426121	AA	20020510	CA 2001-2426121	20011030
AU 2002030914	A5	20020515	AU 2002-30914	20011030
EP 1330550	A2	20030730	EP 2001-991171	20011030
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004532805	T2	20041028	JP 2002-539575	20011030
US 2003055243	A1	20030320	US 2002-227058	20020821
US 6649769	B2	20031118		
US 2004229235	A1	20041118	US 2003-716165	20031118
PRIORITY APPLN. INFO.:			US 2000-704966	A 20001101
			WO 2001-US48654	W 20011030
			US 2002-227058	A3 20020821

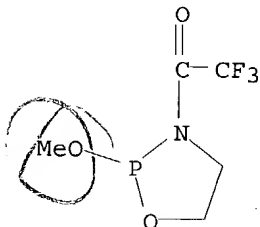
OTHER SOURCE(S): MARPAT 136:371071

IT 113416-05-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(atropisomers of asym. xanthene fluorescent dyes and use in DNA  
sequencing and fragment anal.)

RN 113416-05-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI) (CA INDEX  
NAME)



AB Substantially pure atropisomers of xanthene compds., and use in variety of

mol. biol. applications, are disclosed. Use of atropisomeric xanthene fluorescent dyes as labels for substrates such as nucleotides, nucleosides, polynucleotides, polypeptides and carbohydrates, is claimed. Applications include DNA sequencing, DNA fragment anal., PCR, SNP anal., oligonucleotide ligation, amplification, minisequencing, and primer extension. Synthesis of those compds. are described. Sequencing of pGEM with phosphate-linker, energy-transfer terminator ddATP, and ddGTP is described.

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:73531 CAPLUS

DOCUMENT NUMBER: 136:232485

TITLE: Direct assignment of the absolute configuration of a distinct class of deoxyribonucleoside cyclic N-acylphosphoramidites at phosphorus by M-GOESY nuclear magnetic resonance spectroscopy

AUTHOR(S): Wilk, Andrzej; Grajkowski, Andrzej; Bull, Thomas E.; Dixon, Ann M.; Freedberg, Daron I.; Beaucage, Serge L.

CORPORATE SOURCE: Division of Therapeutic Proteins and Division of Bacterial, Parasitic & Allergenic Products, Center for Biologics Evaluation and Research, Food and Drug Administration, Bethesda, MD, 20892, USA

SOURCE: Journal of the American Chemical Society (2002), 124(7), 1180-1181

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:232485

IT 403651-75-2P 403651-76-3P

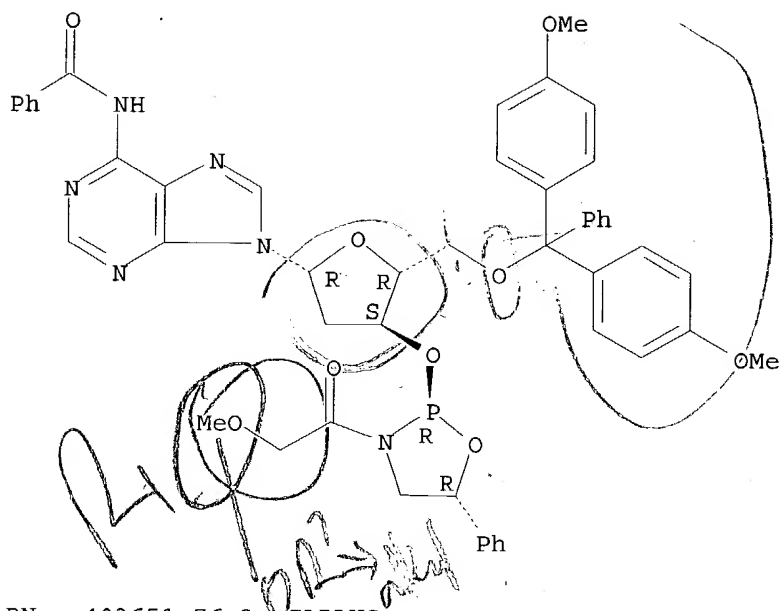
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(direct assignment of absolute. configuration of distinct class of deoxyribonucleoside cyclic nacylphosphoramidites at phosphorus by GOESY NMR spectroscopy)

RN 403651-75-2 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2R,5R)-3-(methoxyacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI)  
(CA INDEX NAME)

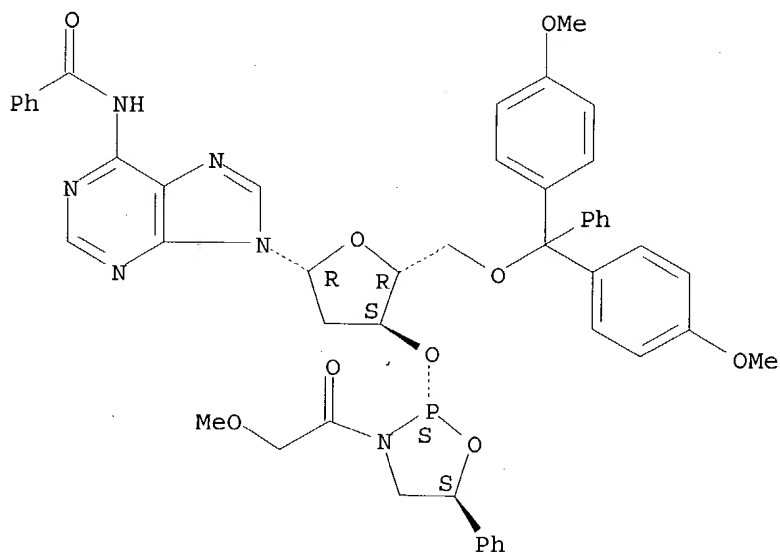
Absolute stereochemistry.





RN 403651-76-3 CAPLUS  
 CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-  
 [(2S,5S)-3-(methoxyacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



AB The determination of the absolute configuration of deoxyribonucleoside cyclic N-acylphosphoramidites at phosphorus toward the synthesis of P-stereodifined phosphorothioated oligodeoxyribonucleotides is easily accomplished with computer-assisted mol. modeling and M-GOESY NMR spectroscopy. Specifically, computer-modeling diastereomeric phosphoramidite 3 has identified a proximal (2.55 Å) through-space interaction between benzylic H-5 and sugar H-2'', which can predictably be detected by M-GOESY NMR in SP-3 but not in RP-3 because of being too

distant (5.85 Å). Consistent with computer-assisted modeling predictions, M-GOESY NMR spectra of SP-3 and RP-3 revealed NOE signals generated from nuclei near the selectively excited H-2'' that are common to both SP-3 and RP-3, namely those of H-2', H-4', H-3', and H-1'. In addition, a diagnostic NOE signal at 5.5 ppm (benzylic H-5) is, as predicted, only detected in SP-3 and thus provides an unequivocal assessment of the configuration of the diastereomer at phosphorus. M-GOESY NMR data also confirm that the condensation of deoxyribonucleoside cyclic N-acylphosphoramidites with base-activated nucleosidic or nucleotidic 5'-hydroxyls proceeds via a single nucleophilic event.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:851807 CAPLUS

DOCUMENT NUMBER: 135:371960

TITLE: Solid phase synthesis of oligonucleotides using thermo-labile phosphorus protecting groups

INVENTOR(S): Beaucage, Serge L.; Wilk, Andrzej; Grajkowski, Andrzej

PATENT ASSIGNEE(S): The United States of America as Represented by the Department of Health and Human Services, USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of Appl. No. PCT/US00/04032.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001044529	A1	20011122	US 2001-792799	20010223
US 6762298	B2	20040713		
WO 2000056749	A1	20000928	WO 2000-US4032	20000216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-125867P P 19990324  
WO 2000-US4032 A2 20000216

IT 373602-58-5 373602-59-6 373602-60-9  
373602-61-0

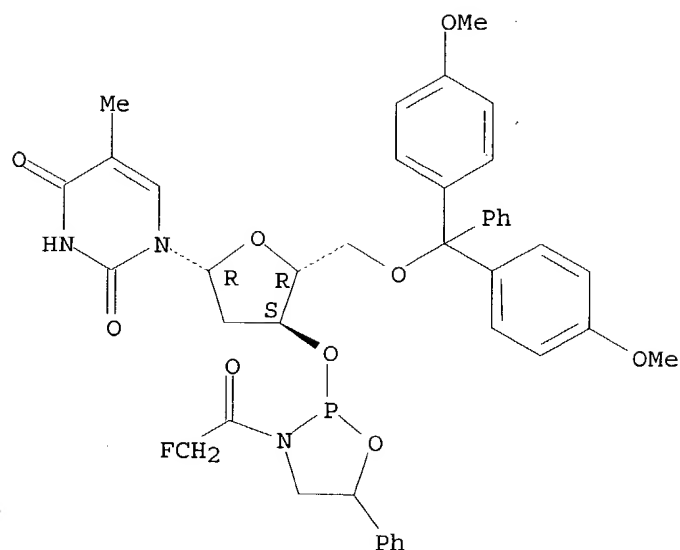
RL: RCT (Reactant); RACT (Reactant or reagent)

(solid phase synthesis of oligonucleotides using thermo-labile phosphorus protecting groups)

RN 373602-58-5 CAPLUS

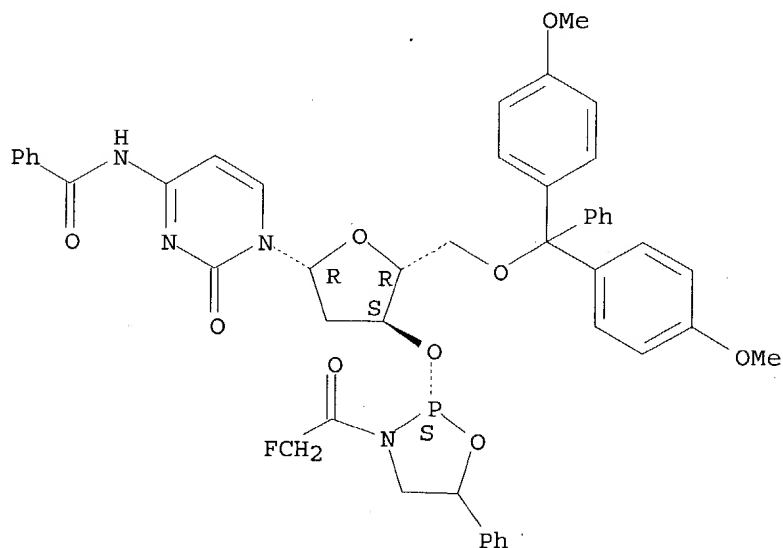
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



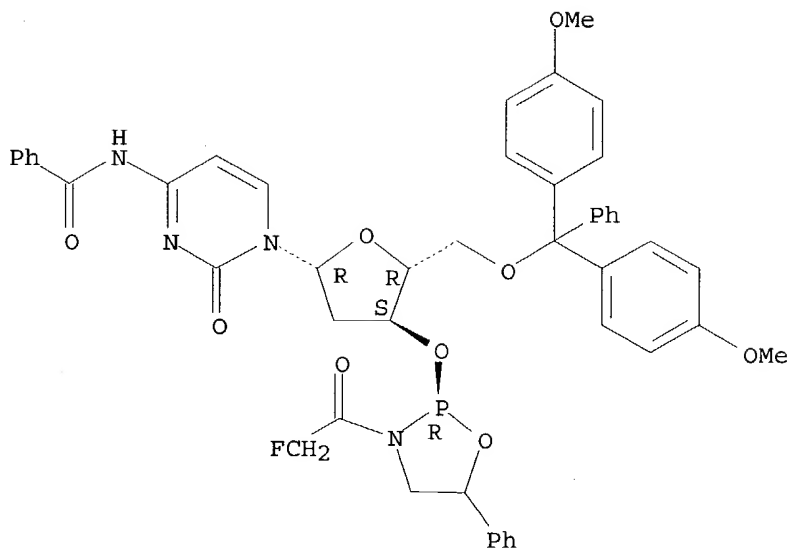
RN 373602-59-6 CAPLUS  
 CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-  
 [(2S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



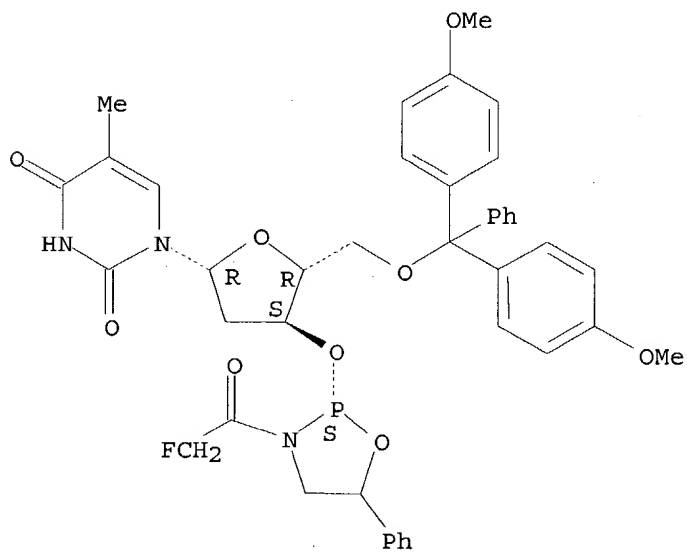
RN 373602-60-9 CAPLUS  
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 [(2R)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

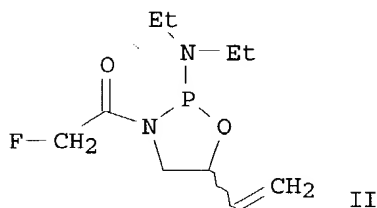
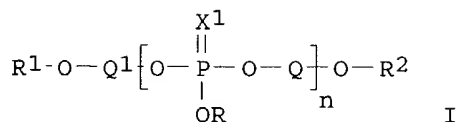


RN 373602-61-0 CAPLUS  
 CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(2S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The invention provides a method of thermally de-protecting the internucleosidic phosphorus linkage of an oligonucleotide I wherein R is H or a thermolabile protecting group; R1 and R4 are independently H or hydroxyl protecting group; Q and Q1 are independently a nucleoside, oligonucleotide; X1 is O, S, Se, which method comprises heating in a fluid medium at a substantially neutral pH. The present invention further provides a method of synthesizing an oligonucleotide using the thermal deprotection method and novel oligonucleotides and intermediates that incorporate the thermo-labile protecting group used in accordance with the present invention. Thus, oxazaphospholane II was prepared and used in synthesis of oligonucleotides such as TPOT.

REFERENCE COUNT: 128 THERE ARE 128 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:125936 CAPLUS

DOCUMENT NUMBER: 132:308590

TITLE: Deoxyribonucleoside Cyclic N-Acylphosphoramidites as a New Class of Monomers for the Stereocontrolled Synthesis of Oligothymidylyl- and Oligodeoxycytidylyl-Phosphorothioates

AUTHOR(S): Wilk, Andrzej; Grajkowski, Andrzej; Phillips, Lawrence R.; Beaucage, Serge L.

CORPORATE SOURCE: Division of Therapeutic Proteins Center for Biologics Evaluation and Research, Food and Drug Administration, Bethesda, MD, 20892, USA

SOURCE: Journal of the American Chemical Society (2000), 122(10), 2149-2156

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 264881-16-5P 264881-45-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates)

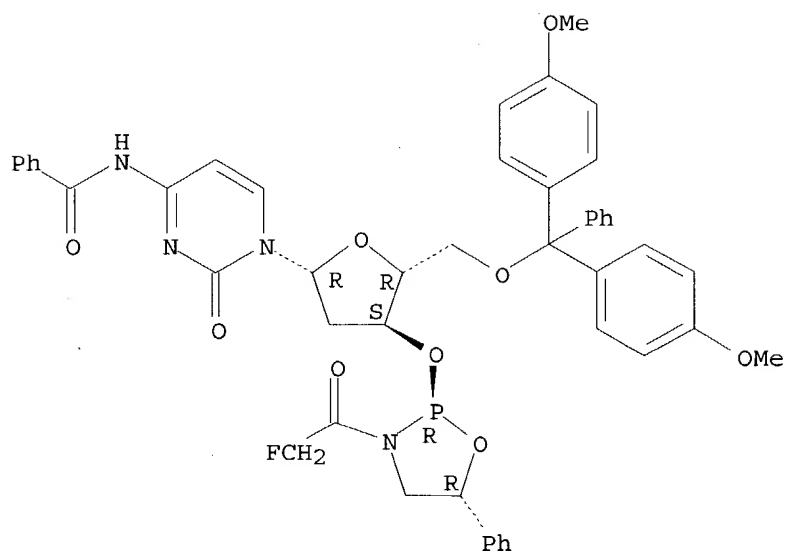
RN 264881-16-5 CAPLUS

CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2R,5R)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI)

09/937,292

(CA INDEX NAME)

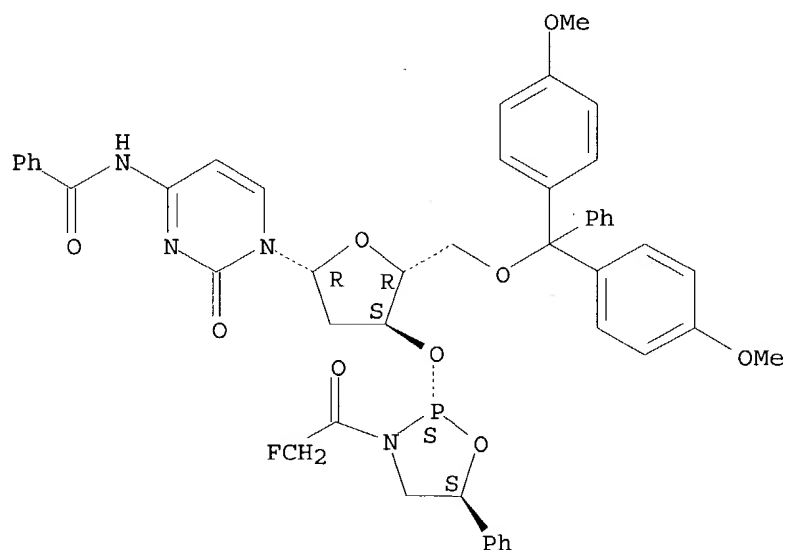
Absolute stereochemistry.



RN 264881-45-0 CAPLUS

CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-3'-O-[(2S,5S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



IT 264881-44-9P 264881-50-7P

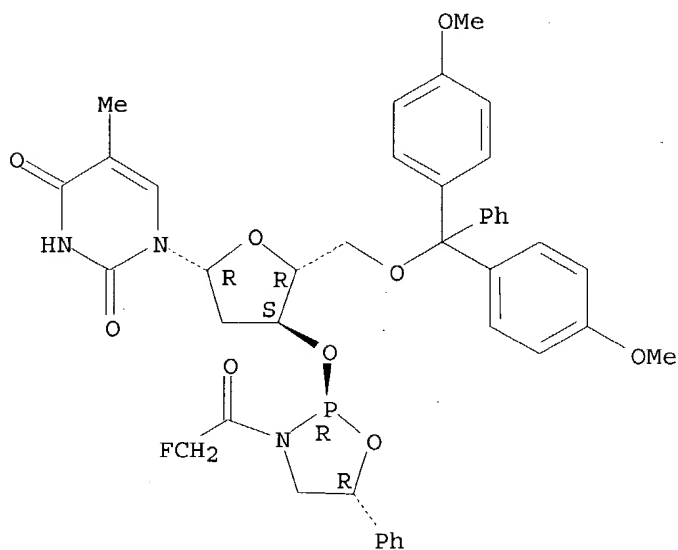
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of deoxyribonucleoside cyclic N-acylphosphoramidites as a new class of monomers for the stereocontrolled synthesis of oligothymidylyl and oligodeoxycytidylyl phosphorothioates)

09/937,292

RN 264881-44-9 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(2R,5R)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

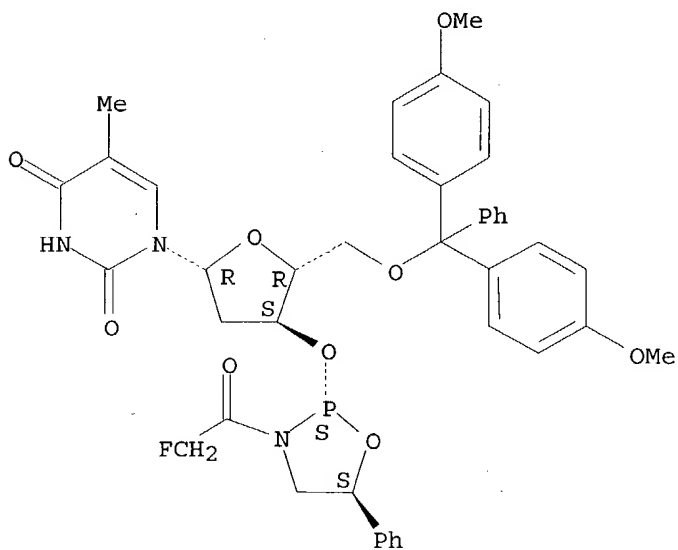
Absolute stereochemistry.



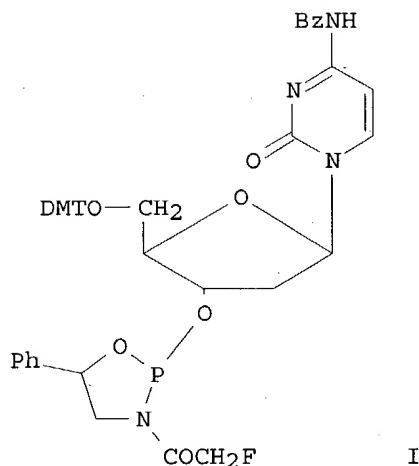
RN 264881-50-7 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(2S,5S)-3-(fluoroacetyl)-5-phenyl-1,3,2-oxazaphospholidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB A simple and straightforward synthesis of pyrimidine 2'-deoxyribonucleoside cyclic N-acylphosphoramidites I is described. Specifically, (+)-2-amino-1-phenylethanol was chemoselectively N-acylated by treatment with Et fluoroacetate followed by reaction with hexaethylphosphorus triamide to afford the cyclic N-acylphosphoramidite as a mixture of diastereomeric rotamers. Condensation of N4-benzoyl-5'-O-(4,4'-dimethoxytrityl)-2'-deoxycytidine with the cyclic N-acylphosphoramidite in the presence of 1H-tetrazole gave, after silica gel chromatog., pure (R)- and (S)-I. <sup>31</sup>P NMR studies indicated that when (R)- or (S)-I is reacted with 3'-O-acetylthymidine and N,N,N',N'-tetramethylguanidine in CD<sub>3</sub>CN, the dinucleoside phosphotriester is formed in near quant. yield with total P-stereospecificity (δP 144.2 or 143.9 ppm). Sulfurization generated the P-stereodefined dinucleoside phosphorothioate (δP 71.0 or 71.2 ppm). The 2'-deoxycytidine cyclic N-acylphosphoramidite derivs. (R)- and (S)-I were subsequently applied to the solid-phase synthesis of [Rp,Rp]- and [Sp,Sp]-trideoxycytidyl diphosphorothioate d(CpsCpsC), and [Rp,Sp,Rp]-tetradexocytidyl triphosphorothioate d(CpsCpsCpsC). Following deprotection, reversed-phase (RP) HPLC anal. of these oligonucleotide analogs showed a single peak for each oligomer. By comparison, RP-HPLC anal. of purified P-diastereomeric d(CpSCpSC) and d(CpSCpSCpSC) prepared from standard 2-cyanoethyl deoxyribonucleoside phosphoramidites exhibited 4 and 8 peaks, resp., each peak corresponding to a specific P-diastereomer. The thymidine cyclic N-acylphosphoramidite derivs. were also prepared, purified, and used successfully in the solid-phase synthesis of [Rp]11-d[(TpS)11T]. . Thus, the application of deoxyribonucleoside cyclic N-acyl phosphoramidites to P-stereocontrolled synthesis of oligodeoxyribonucleoside phosphorothioates may offer a compelling alternative to the methods currently used for such syntheses.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:460409 CAPLUS

DOCUMENT NUMBER: 131:87805

TITLE: Preparation of amprenavir prodrugs as HIV protease inhibitors

INVENTOR(S): Tung, Roger D.; Hale, Michael R.; Baker, Christopher T.; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Wieczyslaw; Spaltenstein, Andrew



09/937,292

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 110 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933815	A1	19990708	WO 1998-US4595	19980309
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 6436989	B1	20020820	US 1997-998050	19971224
AU 9865466	A1	19990719	AU 1998-65466	19980309
AU 755087	B2	20021205		
TR 200002615	T2	20010122	TR 2000-200002615	19980309
BR 9814480	A	20010925	BR 1998-14480	19980309
EE 200000385	A	20011217	EE 2000-200000385	19980309
AP 1172	A	20030630	AP 2000-200001850	19980309
W:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW			
NZ 505776	A	20030630	NZ 1998-505776	19980309
CA 2231700	AA	19990624	CA 1998-2231700	19980310
JP 11209337	A2	19990803	JP 1998-58705	19980310
EP 933372	A1	19990804	EP 1998-104292	19980310
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
TW 486474	B	20020511	TW 1998-87121460	19981222
ZA 9811830	A	20000623	ZA 1998-11830	19981223
NO 2000003304	A	20000821	NO 2000-3304	20000623
US 6559137	B1	20030506	US 2000-602494	20000623
BG 104631	A	20010228	BG 2000-104631	20000724
US 2003207871	A1	20031106	US 2003-370171	20030219
PRIORITY APPLN. INFO.:			US 1997-998050	A2 19971224
			WO 1998-US4595	W 19980309
			US 2000-602494	A3 20000623

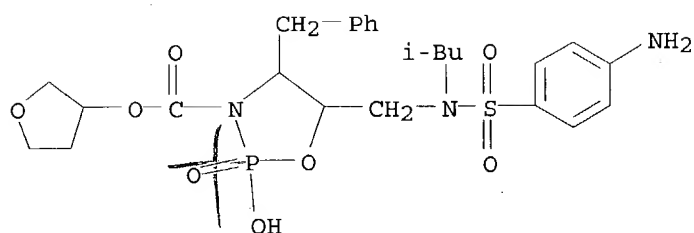
OTHER SOURCE(S): MARPAT 131:87805

IT 229495-77-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-77-6 CAPLUS

CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 5-[[[(4-aminophenyl)sulfonyl](2-methylpropyl)aminomethyl]-2-hydroxy-4-(phenylmethyl)-, tetrahydro-3-furanyl ester, 2-oxide (9CI) (CA INDEX NAME)



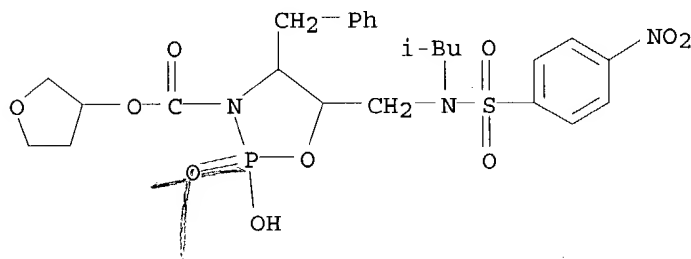
IT 229495-99-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

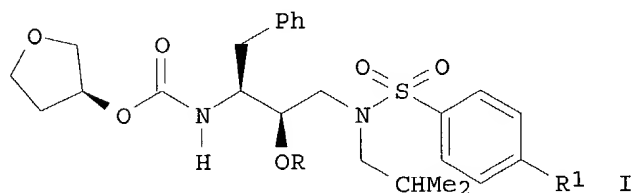
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-99-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-hydroxy-5-[[ (2-methylpropyl) [(4-nitrophenyl)sulfonyl]amino]methyl]-4-(phenylmethyl)-, tetrahydro-3-furanyl ester, 2-oxide (9CI) (CA INDEX NAME)



GI



AB ABNGxCHDCH(OR7)CH2ND'SO2E [A = H, alkyl(carbonyl), aryl(carbonyl), etc.; B = bond or (un)substituted NHCH2CO; D,D' = (cyclo)alk(en)yl, heterocyclyl, etc.; E = (cyclo)alkyl(oxy), heterocyclyl(oxy), etc.; G = H, R7, alkyl, etc.; R7 = acyl(oxy)methyl; x = 0 or 1] were prepared Thus, analog I (R = H, R1 = NO2) was converted in 4 steps to I [R = P(O)(ONa)2, R1 = NH2] (II). Data for bioavailability of II were given.

REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:408878 CAPLUS

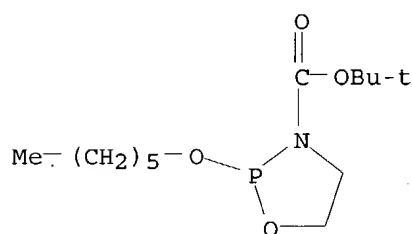
DOCUMENT NUMBER: 119:8878

TITLE: A high yield synthesis of phosphatidyl ethanolamines using phosphoramidite intermediates

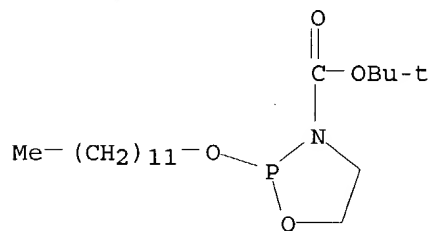
AUTHOR(S): McGuigan, Christopher; Swords, Bernadette

CORPORATE SOURCE: Dep. Chem., Univ. Southampton, Highfield/Southampton,

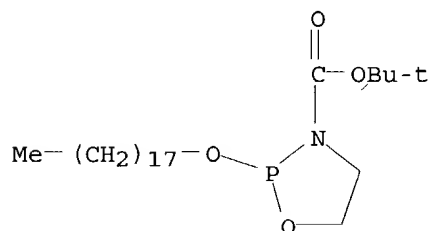
SOURCE: SO9 5NH, UK  
 Synthesis (1993), (1), 133-6  
 CODEN: SYNTBF; ISSN: 0039-7881  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 119:8878  
 IT 148160-27-4P 148160-28-5P 148160-29-6P  
 148160-30-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidation of)  
 RN 148160-27-4 CAPLUS  
 CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(hexyloxy)-,  
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 148160-28-5 CAPLUS  
 CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(dodecyloxy)-,  
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



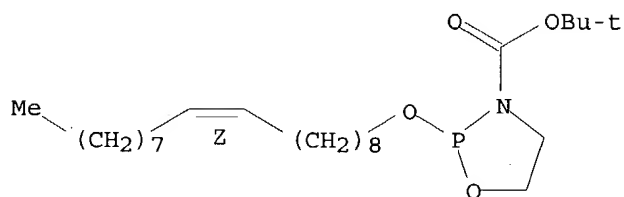
RN 148160-29-6 CAPLUS  
 CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(octadecyloxy)-,  
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 148160-30-9 CAPLUS  
 CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(9-octadecenyloxy)-,  
 1,1-dimethylethyl ester, (Z)- (9CI) (CA INDEX NAME)

09/937,292

Double bond geometry as shown.

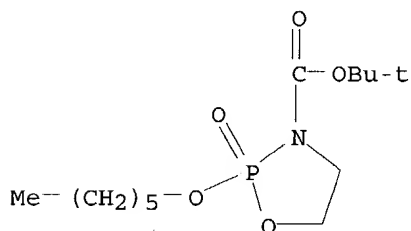


IT 148160-31-0P 148160-32-1P 148160-33-2P  
148160-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and ring cleavage of)

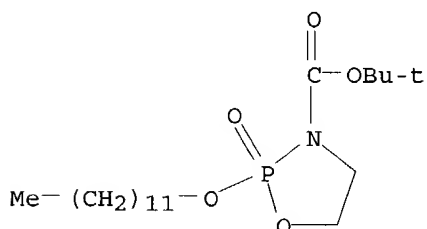
RN 148160-31-0 CAPLUS

CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(hexyloxy)-,  
1,1-dimethylethyl ester, 2-oxide (9CI) (CA INDEX NAME)



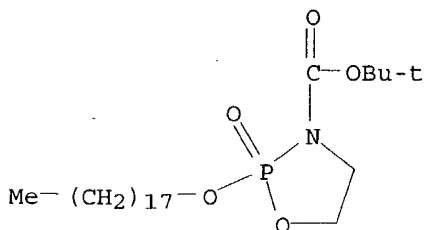
RN 148160-32-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(dodecyloxy)-,  
1,1-dimethylethyl ester, 2-oxide (9CI) (CA INDEX NAME)



RN 148160-33-2 CAPLUS

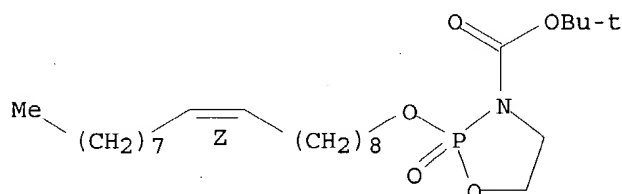
CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(octadecyloxy)-,  
1,1-dimethylethyl ester, 2-oxide (9CI) (CA INDEX NAME)



09/937,292

RN 148160-34-3 CAPLUS  
CN 1,3,2-Oxazaphospholidine-3-carboxylic acid, 2-(9-octadecenyloxy)-,  
1,1-dimethylethyl ester, 2-oxide, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB Alkyl 2-aminoethyl hydrogen phosphates (phosphatidyl ethanolamines), e.g., H<sub>3</sub>N+CH<sub>2</sub>CH<sub>2</sub>OP(O)(O-)O(CH<sub>2</sub>)<sub>5</sub>Me, were prepared via phosphoramidite chemical tert-Butoxycarbonyl N-protection of 2-aminoethanol, followed by cyclization with phosphorus(III) chloride gave the phosphoramidite 3-tert-butoxycarbonyl-2-chloro-1,3,2-oxazaphospholidine. This reacted with long chain alcs. (C<sub>6</sub> to C<sub>18</sub>) to give 2-alkoxy-3-tert-butoxycarbonyl-1,3,2-oxazaphospholidines which were oxidized to 2-alkoxy-3-tert-butoxycarbonyl-2-oxo-1,3,2-oxazaphospholidines with dinitrogen tetroxide. Simultaneous heterocycle cleavage and N-deprotection were achieved with refluxing aqueous THF, to give the target 2-aminoethyl phosphates. The reaction conditions are mild, and the yields are almost quant. in terms of the long chain alc. The products and intermediates are fully characterized by a range of spectroscopic and anal. methods.

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:208962 CAPLUS

DOCUMENT NUMBER: 110:208962

TITLE: Amino-derivatized phosphite and phosphate linking agents, phosphoramidite precursors, and useful conjugates

INVENTOR(S): Fung, Steven; Woo, Sam Lee; Smith, Lloyd M.

PATENT ASSIGNEE(S): Applied Biosystems, Inc., USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8802004	A1	19880324	WO 1986-US1970	19860920
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4757141	A	19880712	US 1985-769170	19850826
AU 8664066	A1	19880407	AU 1986-64066	19860920
JP 01500748	T2	19890316	JP 1986-505094	19860920
JP 06102670	B4	19941214		
EP 261283	A1	19880330	EP 1986-307285	19860922
EP 261283	B1	19920115		
EP 261283	B2	19950419		
R: DE, FR, GB				
US 5258538	A	19931102	US 1991-734575	19911029
JP 06128285	A2	19940510	JP 1993-65992	19930303

09/937,292

JP 2509863	B2	19960626		
JP 06206889	A2	19940726	JP 1993-65993	19930303
JP 07121954	B4	19951225		

PRIORITY APPLN. INFO.:

US 1985-769170	19850826
JP 1986-505094	19860920
WO 1986-US1970	A 19860920
US 1988-216768	A3 19880708

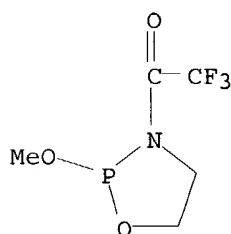
OTHER SOURCE(S): CASREACT 110:208962; MARPAT 110:208962

IT 113416-05-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as linking agent for oligonucleotides)

RN 113416-05-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

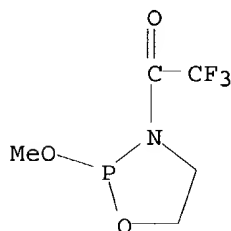


IT 113416-05-0DP, oligonucleotide reaction products

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, linking agent in relation to)

RN 113416-05-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



AB Novel linking agents comprising 2-substituted 3-protected 1,3,2-oxazaphosphacycloalkanes and their phosphoramidite precursors are prepared. Conjugates contain the linking agents, oligonucleotides, and dyes or polymer supports. The compds. are also used to link organic moieties, e.g. fluorescent or chromogenic dyes, to polymer supports and oligonucleotides, especially single- and double-stranded DNA and RNA fragments, e.g. for DNA and RNA synthesis and sequence anal. etc. A phosphoramidite precursor was prepared by reacting chloro-N,N-diisopropylaminomethoxyphosphine with N-(2-hydroxyethyl)-2,2,2-trifluoroacetamide and diisopropylethylamine in CH<sub>2</sub>Cl<sub>2</sub> under Ar. The product was distilled to yield 2-methoxy-3-trifluoroacetyl-1,3,2-oxazaphosphacyclopentane which was used to couple fluorescein-6-isothiocyanate to the 5' end of an oligonucleotide.

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1989:24247 CAPLUS

DOCUMENT NUMBER: 110:24247  
 TITLE: Preparation of 2-substituted-3-protected  
 1,3,2-oxazaphosphacycloalkanes, their phosphoramidite  
 precursors, and their use for introducing spacer  
 groups of labeled oligonucleotides by solid phase  
 method  
 INVENTOR(S): Fung, Steven; Woo, Sam L.; Smith, Lloyd M.  
 PATENT ASSIGNEE(S): Applied Biosystems, Inc., USA  
 SOURCE: U.S., 7 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4757141	A	19880712	US 1985-769170	19850826
WO 8802004	A1	19880324	WO 1986-US1970	19860920
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 5212304	A	19930518	US 1988-216768	19880708
US 5258538	A	19931102	US 1991-734575	19911029
JP 06128285	A2	19940510	JP 1993-65992	19930303
JP 2509863	B2	19960626		
JP 06206889	A2	19940726	JP 1993-65993	19930303
JP 07121954	B4	19951225		
PRIORITY APPLN. INFO.:			US 1985-769170	19850826
			JP 1986-505094	19860920
			US 1988-216768	A3 19880708

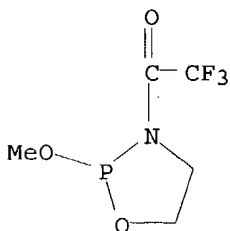
OTHER SOURCE(S): MARPAT 110:24247

IT 113416-05-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as linking agent for fluorescent- or chromogenic  
 dye-labeled oligonucleotides)

RN 113416-05-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI) (CA INDEX  
 NAME)



GI For diagram(s), see printed CA Issue.

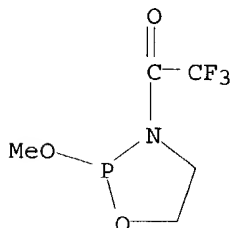
AB The title reagents, 2-substituted-3-protected-1,3,2-oxazaphosphacycloalkanes, [I and II; R1 = amino protecting group; R2, R3 = H, (un)substituted lower alkyl, lower acyl, cyano, halo, nitro; R4 = C≤10 alkyl, alkenyl, aryl, aralkyl, or cycloalkyl; n = 2-4; m = 1-3] and their conjugates with polymer supports or nucleotides linked to polymer supports (III; i = 0, 1; k = 1 when i = 1 or k = 0 when i = 1; m = 1-3; n = 2-4; W = a hydroxylic polymer support or oligonucleotide linked to a polymer support) and R1NH(CR2R3)OP(O)i(OR4k)OW, useful for linking organic moieties, such as fluorescent or chromogenic dyes, to polymer

supports and oligonucleotides, particularly single- and double-stranded DNA and RNA fragments, are described. Thus, condensation of (Me<sub>2</sub>CH)<sub>2</sub>NPClOMe with CF<sub>3</sub>CONHCH<sub>2</sub>CH<sub>2</sub>OH in Cl<sub>2</sub>CH<sub>2</sub> in the presence of (Me<sub>2</sub>CH)<sub>2</sub>NEt at 0° gave (Me<sub>2</sub>CH)<sub>2</sub>NP(OMe)OCH<sub>2</sub>CH<sub>2</sub>NHCOCF<sub>3</sub> which was distilled at 58-59° and 0.8 Torr to give I (R<sub>1</sub> = COCF<sub>3</sub>, R<sub>2</sub> = R<sub>3</sub> = H, R<sub>4</sub> = Me, n = 2). In 3 examples, 5'-aminoethylphosphate 'TCCCAGTCACGACGTT was prepared by the solid phase method and reacted with fluorescein 6-isothiocyanate in H<sub>2</sub>O in 1M NaHCO<sub>3</sub>/Na<sub>2</sub>CO<sub>3</sub> buffer to give a fluorescein-labeled oligonucleotide.

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

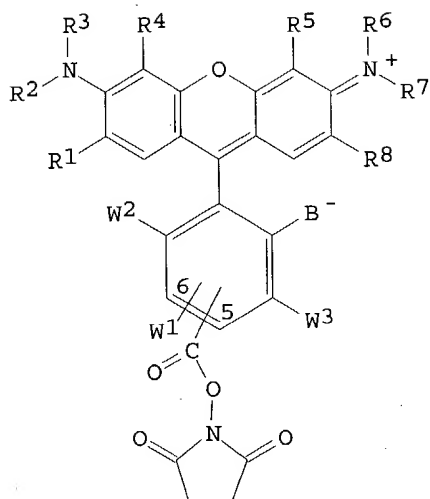
ACCESSION NUMBER: 1988:551451 CAPLUS  
 DOCUMENT NUMBER: 109:151451  
 TITLE: Isomerically pure 5- and 6-succinimidooxycarbonyl derivatives of rhodamine dyes as fluorescent labels for DNA sequencing  
 INVENTOR(S): Menchen, Steven M.; Fung, Steven  
 PATENT ASSIGNEE(S): Applied Biosystems, Inc., USA  
 SOURCE: Eur. Pat. Appl., 10 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 272007	A2	19880622	EP 1987-310256	19871120
EP 272007	A3	19881102		
EP 272007	B1	19920304		
R: DE, FR, GB, SE				
JP 63151839	A2	19880624	JP 1987-264045	19871021
JP 2527340	B2	19960821		
PRIORITY APPLN. INFO.:			US 1986-941985	A 19861215
OTHER SOURCE(S):	MARPAT 109:151451			
IT 113416-05-0				
RL: PROC (Process)				
(fluorescent labeling in presence of)				
RN 113416-05-0	CAPLUS			
CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI)	(CA INDEX NAME)			



GI





I

AB Isomerically pure 5- and 6-succinimidooxycarbonyl derivs. of rhodamine dyes I ( $B^-$  = anionic group;  $R_1, R_4, R_5, R_8$  = H, halogen, C1-8 alkyl C1-8 alkoxy, C1-8 thioalkoxy;  $R_2, R_3, R_6, R_7$  = C1-8 alkyl;  $W_1-W_3$  = H, Cl), useful in DNA chain-termination sequencing procedures, are prepared Using the pure isomeric forms of the title compds. prevents generation of spurious sequence data because of the different electrophoretic mobilities of the isomers. Tetramethylrhodamine-6-carboxylic acid was separated from the 5-isomer by column chromatog., condensed with di-N-succinimidyl carbonate in THF in the presence of 4-(dimethylamino)pyridine, forming tetramethylrhodamine 6-succinimidooxycarbonyl derivative acetic acid salt.

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:507166 CAPLUS

DOCUMENT NUMBER: 109:107166

TITLE: Preparation and chromatographic use of 5'-fluorescent-labeled DNA probes

AUTHOR(S): Tous, Guillermo; Fausnaugh, Jodi; Vieira, Paulo; Stein, Stanley

CORPORATE SOURCE: New Jersey Cent. Adv. Biotechnol. Med., Piscataway, NJ, 08854, USA

SOURCE: Journal of Chromatography (1988), 444, 67-77  
CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

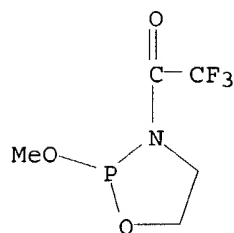
IT 113416-05-0

RL: ANST (Analytical study)

(in fluorescent-labeled DNA probes preparation)

RN 113416-05-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



AB A convenient procedure for synthesizing and purifying fluorescently-labeled short DNA probes is reported. DNA probes were chemical synthesized on an automated instrument by using the Aminolink reagent in the final cycle to attach a primary amino group at the 5'-terminus in the final step. The synthetic oligonucleotides were purified by polyacrylamide urea gel electrophoresis, followed by reversed-phase HPLC. The oligomers were then allowed to react with a fluorescent compound, and the products were separated by HPLC with consecutive detection by UV absorption and fluorescence. Gel permeation chromatog. demonstrated that the fluorescent probes were able to form stable hybrids with complementary oligodeoxynucleotides. Furthermore, essentially 100% of the purified fluorescent probe was capable of hybridizing to its complementary strand. Special precautions in handling the fluorescent probes, such as stability, were investigated.

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:128114 CAPLUS

DOCUMENT NUMBER: 108:128114

TITLE: Method of detecting electrophoretically separated oligonucleotides

INVENTOR(S): Fung, Steven; Woo, Sam Lee; Menchen, Steven M.; Connell, Charles R.; Heiner, Cheryl

PATENT ASSIGNEE(S): Applied Biosystems, Inc., USA

SOURCE: Eur. Pat. Appl., 30 pp.

CODEN: EPXXDW

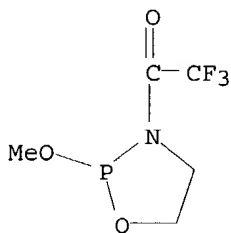
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 233053	A2	19870819	EP 1987-300998	19870204
EP 233053	A3	19890322		
EP 233053	B1	19940601		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4855225	A	19890808	US 1986-827348	19860207
JP 62249049	A2	19871030	JP 1987-23709	19870205
PRIORITY APPLN. INFO.:			US 1986-827348	A 19860207
IT 113416-05-0P				
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for detection of oligonucleotides by gel electrophoresis and spectrometry)				
RN 113416-05-0 CAPLUS				
CN 1,3,2-Oxazaphospholidine, 2-methoxy-3-(trifluoroacetyl)- (9CI) (CA INDEX NAME)				



AB A method is provided for detecting up to 4 classes of oligonucleotides which have been separated by gel electrophoresis. The method entails labeling members of each class of oligonucleotide with dyes selected from sep. sets of dyes so that members of the same class are labeled with dyes from the same set. The 4 sets of dyes consist of derivs. of fluorescein, 2',7'-dimethoxy-4',5'-dichlorofluorescein, tetramethylrhodamine, and rhodamine X carboxylic or sulfonic acid, resp. Dyes from these sets are spectrally resolvable under conditions of gel electrophoresis. Also claimed is a method of distinguishing oligonucleotides having different terminal dideoxyribonucleotides in an enzymic method of DNA sequencing.

L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:456139 CAPLUS

DOCUMENT NUMBER: 97:56139

TITLE: N-Acylamidophosphite complex of palladium

AUTHOR(S): Abbasov, E. M.; Teleshev, A. T.; Koroteev, M. P.;

Nifant'ev, E. E.

CORPORATE SOURCE: USSR

SOURCE: Zhurnal Obshchei Khimii (1982), 52(4), 936

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Russian

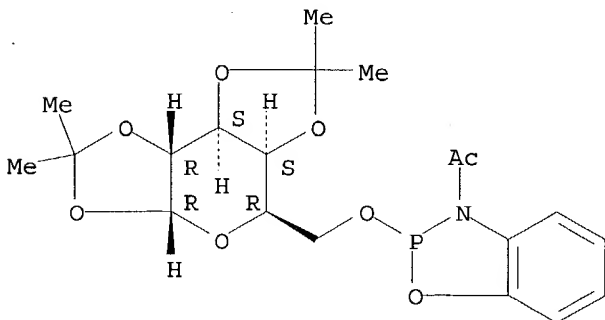
IT 82298-36-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and complex formation with bis( $\pi$ -allylpalladium chloride))

RN 82298-36-0 CAPLUS

CN  $\alpha$ -D-Galactopyranose, 6-O-(3-acetyl-1,3,2-benzoxazaphosphol-2(3H)-yl)-  
1,2:3,4-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



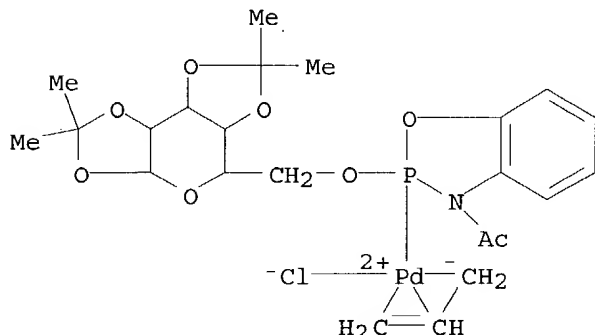
IT 82328-17-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

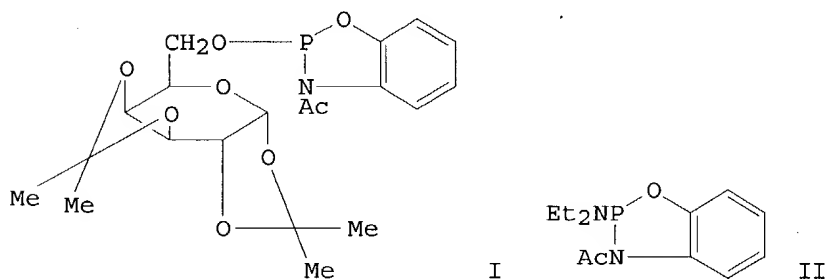
RN 82328-17-4 CAPLUS

09/937,292

CN Palladium, [6-O-(3-acetyl-1,3,2-benzoxazaphosphol-2(3H)-yl)-1,2:3,4-bis-O-(1-methylethylidene)- $\alpha$ -D-galactopyranose-P]chloro( $\eta^3$ -2-propenyl)-(9CI) (CA INDEX NAME)



GI



AB The title compound  $C_{35}H_{55}PdCl$ .I was obtained in 95% yield from I and bis( $\pi$ -allylpalladium chloride) in  $C_6H_6$  at 30 °. I was prepared in 78% yield by phosphorylation of 1,2:3,4-di-O-isopropylidene- $\alpha$ -D-galactopyranose with benzoxazaphosphole II.

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:406407 CAPLUS

DOCUMENT NUMBER: 97:6407

TITLE: Synthesis of some five-membered cyclic derivatives of phosphorus

AUTHOR(S): Gadzhiev, G. Yu.; Alekperov, G. I.; Pudovik, M. A.

CORPORATE SOURCE: Kirovabad. Pedagog. Inst., Kirovabad, USSR

SOURCE: Azerbaidzhanskii Khimicheskii Zhurnal (1981), (3), 41-5

CODEN: AZKZAU; ISSN: 0005-2531

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 97:6407

IT 82046-35-3P 82046-43-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

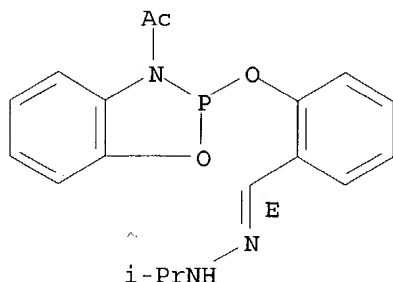
RN 82046-35-3 CAPLUS

CN 1,3,2-Benzoxazaphosphole, 3-acetyl-2,3-dihydro-2-[2-[(1-

09/937,292

methylethyl)hydrazono]methyl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

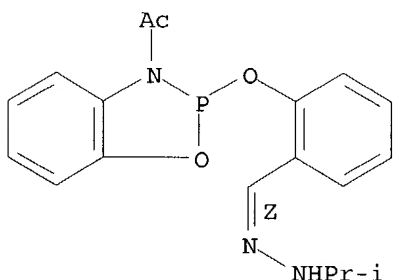
Double bond geometry as shown.



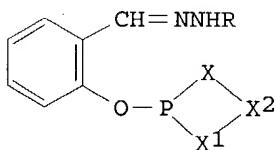
RN 82046-43-3 CAPLUS

CN 1,3,2-Benzoxazaphosphole, 3-acetyl-2,3-dihydro-2-[2-[(1-methylethyl)hydrazono]methyl]phenoxy]-, (Z)- (9CI) (CA INDEX NAME)

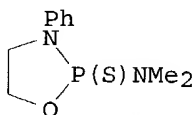
Double bond geometry as shown.



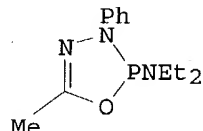
GI



I



II



III

AB The title compds. I (X = X1 = O, NCHMeEt; X = O, X1 = NAc, NPh; X2 = CH2CH2, CH2CHMe, benzeno, R = Pr, Me2CH, Me2CHCH2), II, and III were prepared in 43-75% yields. Thus, treating HOCH2CH2NHPh with P(NMe2)3 and S gave 75% II.

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:593184 CAPLUS

DOCUMENT NUMBER: 83:193184

TITLE: 3-Acyl-1,3,2-oxazaphospholanes and phosphorinanes.  
Synthesis and certain properties

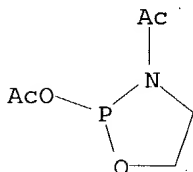
AUTHOR(S): Mizrakh, L. I.; Polonskaya, L. Yu.; Kozlova, L. N.;  
Babushkina, T. A.; Bryantsev, B. I.

CORPORATE SOURCE: USSR

SOURCE: Zhurnal Obshchei Khimii (1975), 45(7), 1469-73  
CODEN: ZOKHA4; ISSN: 0044-460X

09/937,292

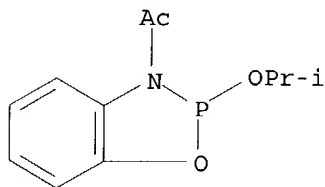
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
IT 57107-23-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 57107-23-0 CAPLUS  
CN 1,3,2-Oxazaphospholidine, 3-acetyl-2-(acetyloxy)- (9CI) (CA INDEX NAME)



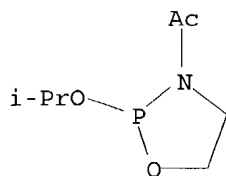
GI For diagram(s), see printed CA Issue.  
AB Cycloaddn. of  $P(NEt_2)_3$  with  $AcNHCH_2CH_2OH$ ,  $AcNH(CH_2)_3OH$ ,  $BzNHCH_2CH_2OH$ , and 2-HOC<sub>6</sub>H<sub>4</sub>NHAc gave the title compds. I [R = Me, n = 1 (II); R = Me, n = 2; R = Ph, n = 1] and III, resp. The P-thione derivs. of I and III were prepared by refluxing with S in C<sub>6</sub>H<sub>6</sub>. Reaction of II with Ac<sub>2</sub>O, piperidine, EtOH, and HOCH<sub>2</sub>CH<sub>2</sub>OH gave IV, V, (EtO)<sub>2</sub>P(O)CH<sub>2</sub>CH<sub>2</sub>NHAc, and VI, resp.

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1974:463723 CAPLUS  
DOCUMENT NUMBER: 81:63723  
TITLE: Synthesis of N-acetylated 1,3,2-oxazaphospholanes  
AUTHOR(S): Pudovik, M. A.; Terent'eva, S. A.; Nebogatikova, I. V.; Pudovik, A. N.  
CORPORATE SOURCE: Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR  
SOURCE: Zhurnal Obshchei Khimii (1974), 44(5), 1020-4  
CODEN: ZOKHA4; ISSN: 0044-460X  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian

IT 42025-71-8P 53201-59-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 42025-71-8 CAPLUS  
CN 1,3,2-Benzoxazaphosphole, 3-acetyl-2-(1-methylethoxy)- (9CI)  
(CA INDEX NAME)



RN 53201-59-5 CAPLUS  
CN 1,3,2-Oxazaphospholidine, 3-acetyl-2-(1-methylethoxy)- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB Oxaazaphospholanes I-III were prepared E.g., o-HOC<sub>6</sub>H<sub>4</sub>NHAc (IV) and RP(NEt)<sub>2</sub> gave I (R = Et, Ph, Me<sub>2</sub>CHO, Me<sub>2</sub>N). IV and PCl<sub>3</sub> gave I (R = Cl) which, treated with PSCl<sub>3</sub>, gave II (R = Cl). III (R = Et<sub>2</sub>N) and AcCl gave III (R = Cl) which, treated with Me<sub>2</sub>CHOH and Et<sub>3</sub>N, gave III (R = Me<sub>2</sub>CHO).

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:107703 CAPLUS

DOCUMENT NUMBER: 80:107703

TITLE: Mechanism of formation and rearrangement of spirophosphoranes. V. Synthesis and PIII .dbr. PV tautometry of spirophosphoranes containing a phosphorus-hydrogen bond, and derived from carbon- and nitrogen-substituted amino alcohols

AUTHOR(S): Burgada, R.; Laurencu, C.

CORPORATE SOURCE: Lab. Synth. Org., Paris, Fr.

SOURCE: Journal of Organometallic Chemistry (1974), 66(2), 255-70

CODEN: JORCAI; ISSN: 0022-328X

DOCUMENT TYPE: Journal

LANGUAGE: French

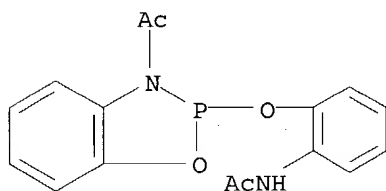
IT 51676-06-3

RL: PROC (Process)

(phosphorus-31 NMR of)

RN 51676-06-3 CAPLUS

CN Acetamide, N-[2-[(3-acetyl-1,3,2-benzoxazaphosphol-2(3H)-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB The synthesis of .apprx.40 spirophosphoranes containing a P-H bond, e.g., I, and II, offers examples of new cases of tautomeric equilibrium between the tri- and pentacoordinated forms as shown by: (a) recording the 31P NMR spectra at 20-150°, (b) using a chemical test which is specific for the P(III) form. Factors influencing the equilibrium P(III) .dbllharw. P(V) are discussed.

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:466261 CAPLUS

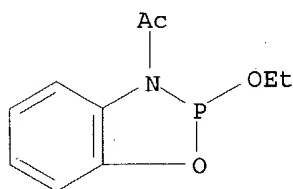
DOCUMENT NUMBER: 79:66261

TITLE: N-Acylated oxazaphospholanes and phosphorinanes

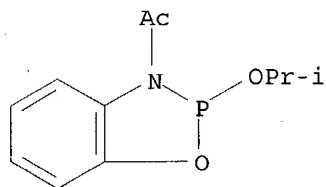
AUTHOR(S): Pudovik, M. A.; Terent'eva, S. A.; Medvedeva, M. D.; Pudovik, A. N.

09/937,292

CORPORATE SOURCE: Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR  
SOURCE: Zhurnal Obshchei Khimii (1973), 43(3), 679  
CODEN: ZOKHA4; ISSN: 0044-460X  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
IT 42025-70-7P 42025-71-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 42025-70-7 CAPLUS  
CN 1,3,2-Benzoxazaphosphole, 3-acetyl-2-ethoxy-2,3-dihydro- (9CI) (CA INDEX  
NAME)



RN 42025-71-8 CAPLUS  
CN 1,3,2-Benzoxazaphosphole, 3-acetyl-2-(1-methylethoxy)- (9CI)  
(CA INDEX NAME)



GI For diagram(s), see printed CA Issue.  
AB Heating equimol. mixts. of N-acylated amino alcs. and N-acetyl-o-aminophenol with either P(NR<sub>2</sub>)<sub>3</sub> or ROP(NR<sub>2</sub>)<sub>2</sub> (R = Et, Me<sub>2</sub>CH) resulted in elimination of 2 moles of the amine and formation of I (X = RO, NR<sub>2</sub>; Z = CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, o-C<sub>6</sub>H<sub>4</sub>).

=> log y

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